

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
4 February 2010 (04.02.2010)

PCT

(10) International Publication Number
WO 2010/012567 A1

(51) International Patent Classification:

C07J 41/00 (2006.01) *A61P 5/44* (2006.01)
C07J 71/00 (2006.01) *A61P 27/02* (2006.01)
A61K 31/573 (2006.01)

(21) International Application Number:

PCT/EP2009/058572

(22) International Filing Date:

7 July 2009 (07.07.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/085,294 31 July 2008 (31.07.2008) US
61/122,896 16 December 2008 (16.12.2008) US

(71) Applicant (*for all designated States except US*): **NICOX S.A.** [FR/FR]; Taissounières HB4 -, 1681 route des Dolines - BP313, F-06560 Sophia Antipolis -Valbonne (FR).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **BENEDINI, Francesca** [IT/IT]; Via Spilamberto 16, I-20097 San Donato Milanese (Milano) (IT). **BONFANTI, Annalisa** [IT/IT]; Via Gaetano Donizetti 8, I-20045 Besana in Brianza (Milano) (IT). **CHIROLI, Valerio** [IT/IT]; Via Tajani 3, I-20113 Milano (IT). **STEELE, Rebecca** [GB/IT]; Via Alessandro Manzoni 5/A, I-20020 Solaro (Milano) (IT). **ONGINI, Ennio** [IT/IT]; Via Fratelli Cervi, Residenza

Campo, I-20090 Segrate (Milano) (IT). **BIONDI, Stefano** [IT/IT]; Via Copernico 22, I-20016 Pero (Milano) (IT).

(74) Agent: **BARCIELLI, Giovanna**; Nicox Research Institute Srl, Via L. Ariosto 21, I-20091 Bresso (Milano) (IT).

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report (Art. 21(3))

(54) Title: GLUCOCORTICOIDS ATTACHED TO NITRATE ESTERS VIA AN AROMATIC LINKER IN POSITION 21 AND THEIR USE IN OPHTHALMOLOGY

(57) Abstract: The invention relates to nitrooxy derivatives of fluocinolone acetonide, triamcinolone acetonide, betamethasone and beclomethasone, methods for their preparation, pharmaceutical compositions containing these compounds, and methods of using these compounds and compositions for treating diabetic macular edema, diabetic retinopathy, macular degeneration, age-related macular degeneration and other diseases of retina and macula lutea.



WO 2010/012567 A1